10/08 □ 1685 Eld 3/17/04

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
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 NEWS 44  Jun 20  2003 edition of the FSTA Thesaurus is now available

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               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
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 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:08:32 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                      0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:08:36 ON 23 JUN 2003
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STRUCTURE FILE UPDATES:   22 JUN 2003  HIGHEST RN 535920-83-3
DICTIONARY FILE UPDATES:  22 JUN 2003  HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading pctus0308820.23
```

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 12:09:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     55 TO ITERATE

100.0% PROCESSED      55 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          656 TO     1544
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:09:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    849 TO ITERATE

100.0% PROCESSED     849 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.55     148.76

STN INTERNATIONAL LOGOFF AT 12:09:32 ON 23 JUN 2003
```

10801685 Eld 3/7/04

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

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FILE 'HOME' ENTERED AT 12:11:51 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    0.21        0.21

FILE 'REGISTRY' ENTERED AT 12:12:00 ON 23 JUN 2003
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STRUCTURE FILE UPDATES:   22 JUN 2003  HIGHEST RN 535920-83-3
DICTIONARY FILE UPDATES:  22 JUN 2003  HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Experimental and calculated property data are now available.  See HELP
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in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading pctus0308820.25
```

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 12:12:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     61 TO ITERATE

100.0% PROCESSED      61 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          752 TO     1688
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:12:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    914 TO ITERATE

100.0% PROCESSED     914 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.15     148.36

STN INTERNATIONAL LOGOFF AT 12:12:40 ON 23 JUN 2003
```

527

10806 8/1 3/17/04

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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FILE 'HOME' ENTERED AT 08:12:22 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 08:12:35 ON 23 JUN 2003
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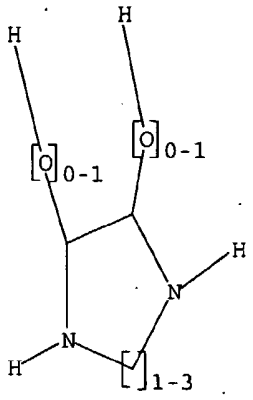
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading pctus0308820.12
```

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 08:12:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 112750 TO ITERATE

  0.9% PROCESSED    1000 ITERATIONS                          19 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS   40070

L2          19 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:13:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

<  17.8% PROCESSED  400000 ITERATIONS                        4977 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS   27515

L3         4977 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
```

	ENTRY	SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 08:13:28 ON 23 JUN 2003
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FILE COVERS 1907 - 23 Jun 2003 VOL 138 ISS 26
FILE LAST UPDATED: 22 Jun 2003 (20030622/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4        1004 L3

=> s l4 and NPFF
L5           0 L4 AND NPFF

=> s l4 and drug addiction
L6           0 L4 AND DRUG ADDICTION

=> s l4 and anti-opiate
L7           0 L4 AND ANTI-OPIATE

=> s l4 and nicotine addiction
L8           0 L4 AND NICOTINE ADDICTION

=> s l4 and obesity
L9          16 L4 AND OBESITY

=> s l4 and opiate
L10          0 L4 AND OPIATE

=> s l4 and abstinnence syndrome
L11          0 L4 AND ABSTINNENCE SYNDROME

=> s l4 and Rf-amide
L12          0 L4 AND RF-AMIDE

=> s l4 and RF-amide neuropeptide
L13          0 L4 AND RF-AMIDE NEUROPEPTIDE

=> s l4 and neuropeptide
L14          2 L4 AND NEUROPEPTIDE
```

```
=> s l4 and CNS
L15           6 L4 AND CNS

=> d his

     (FILE 'HOME' ENTERED AT 08:12:22 ON 23 JUN 2003)

     FILE 'REGISTRY' ENTERED AT 08:12:35 ON 23 JUN 2003
L1              STRUCTURE UPLOADED
L2             19 S L1
L3           4977 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 08:13:28 ON 23 JUN 2003
L4           1004 S L3
L5              0 S L4 AND NPFF
L6              0 S L4 AND DRUG ADDICTION
L7              0 S L4 AND ANTI-OPIATE
L8              0 S L4 AND NICOTINE ADDICTION
L9             16 S L4 AND OBESITY
L10             0 S L4 AND OPIATE
L11             0 S L4 AND ABSTINNENCE SYNDROME
L12             0 S L4 AND RF-AMIDE
L13             0 S L4 AND RF-AMIDE NEUROPEPTIDE
L14             2 S L4 AND NEUROPEPTIDE
L15             6 S L4 AND CNS

=> d l9 fbibhitstr abs total
'FBIBHITSTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ---------- GI and AB
ALL ---------- BIB, AB, IND, RE
APPS --------- AI, PRAI
BIB ---------- AN, plus Bibliographic Data and PI table (default)
CAN ---------- List of CA abstract numbers without answer numbers
CBIB --------- AN, plus Compressed Bibliographic Data
DALL --------- ALL, delimited (end of each field identified)
DMAX --------- MAX, delimited for post-processing
FAM ---------- AN, PI and PRAI in table, plus Patent Family data
FBIB --------- AN, BIB, plus Patent FAM
IND ---------- Indexing data
IPC ---------- International Patent Classifications
MAX ---------- ALL, plus Patent FAM, RE
PATS --------- PI, SO
SAM ---------- CC, SX, TI, ST, IT
SCAN --------- CC, SX, TI, ST, IT (random display, no answer numbers;
               SCAN must be entered on the same line as the DISPLAY,
               e.g., D SCAN or DISPLAY SCAN)
STD ----------.BIB, IPC, and NCL

IABS --------- ABS, indented with text labels
IALL --------- ALL, indented with text labels
IBIB --------- BIB, indented with text labels
IMAX --------- MAX, indented with text labels
ISTD --------- STD, indented with text labels
```

```
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO.  You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):end

=> d l9 fbib hitstr abs total

L9   ANSWER 1 OF 16  CAPLUS  COPYRIGHT 2003 ACS
AN   2003:242332  CAPLUS
DN   138:255009
TI   Preparation of purine derivatives as inhibitors of the enzyme DPP-IV
IN   Kanstrup, Anders B.; Sams, Christian Klarner; Lundbeck, Jane Marie;
     Christiansen, Lise Brown; Bowler, Andrew Neil
PA   Novo Nordisk A/S, Den.
SO   PCT Int. Appl., 63 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 2003024965     A2    20030327      WO 2002-DK608    20020919
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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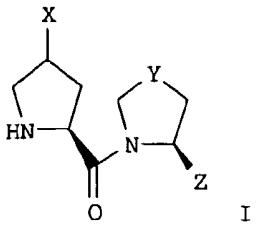


I

AB The title compds. [I; X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7, NR8SO2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl contg. 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un)substituted arom. ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H, alkyl, acyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted arom. ring; R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, **obesity**, HIV infection, cancer metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericementitis, or autoimmune diseases. Thus, a soln. of 0.924 g (S)-1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine (prepn. given), 1.7 mL diisopropylethylamine, and 0.78 g 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80.degree. for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine which (0.93 g) was treated with HCl/EtOAc at room temp. for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nM against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS
AN 2002:72089 CAPLUS
DN 136:134678
TI Preparation of [(2-hydroxyethylamino)cyclylamino]arylsulfonamides as .beta.3 adrenergic receptor agonists
IN Sum, Fuk-Wah; Malamas, Michael Sotirios
PA American Home Products Corporation, USA
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002006274	A1	20020124	WO 2001-US22379	20010716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,

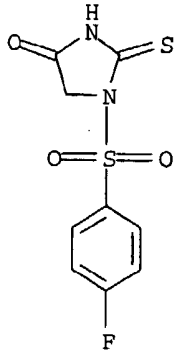
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-218589PP 20000717

US 2002028797	A1	20020307	US 2001-904114	20010712
US 6444685	B2	20020903		
			US 2000-218589PP	20000717
US 2003027795	A1	20030206	US 2002-205019	20020725
			US 2000-218589PP	20000717
			US 2001-904114 A3	20010712

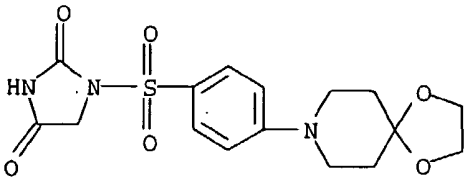
OS MARPAT 136:134678

IT **391935-66-3P 391935-67-4P 391935-68-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of [(hydroxyethylamino)piperidinyl]benzenesulfonamides as .beta.3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

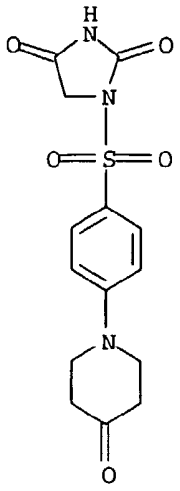
RN 391935-66-3 CAPLUS
CN 4-Imidazolidinone, 1-[(4-fluorophenyl)sulfonyl]-2-thioxo- (9CI) (CA INDEX NAME)



RN 391935-67-4 CAPLUS
CN 2,4-Imidazolidinedione, 1-[[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



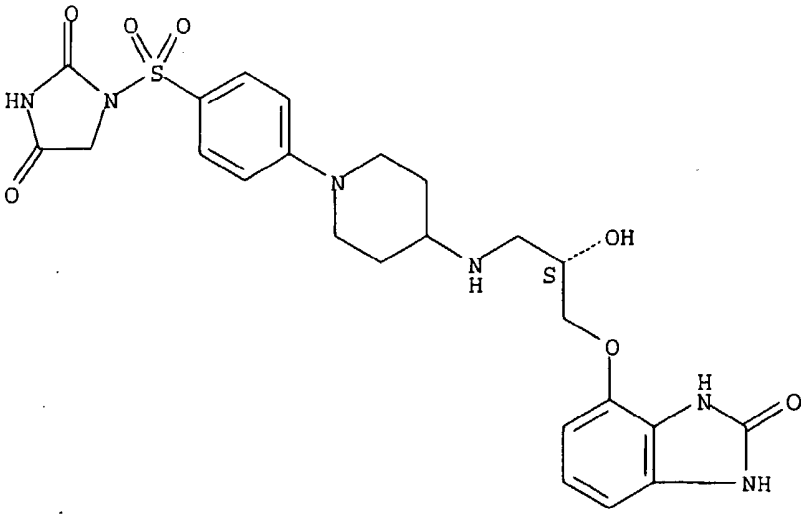
RN 391935-68-5 CAPLUS
CN 2,4-Imidazolidinedione, 1-[[4-(4-oxo-1-piperidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



IT **391934-53-5P 391934-54-6P 391934-55-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.3 agonist; prepn. of [(hydroxyethylamino)piperidinyl]benzenesulfonamides as .beta.3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

RN 391934-53-5 CAPLUS
CN 2,4-Imidazolidinedione, 1-[[4-[4-[[(2S)-3-[(2,3-dihydro-2-oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

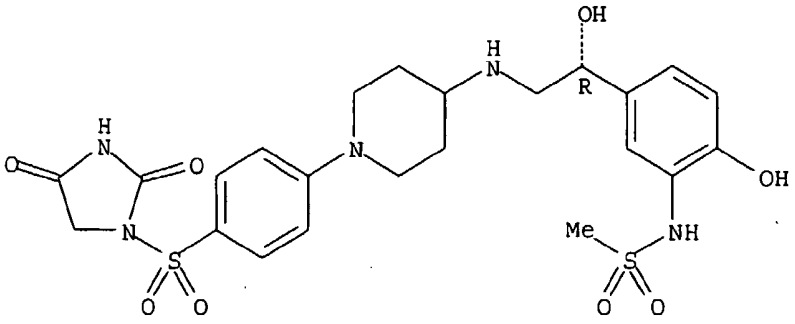
Absolute stereochemistry.



RN 391934-54-6 CAPLUS
CN Methanesulfonamide, N-[5-[(1R)-2-[[1-[4-[(2,4-dioxo-1-imidazolidinyl)sulfonyl]phenyl]-4-piperidinyl]amino]-1-hydroxyethyl]-2-

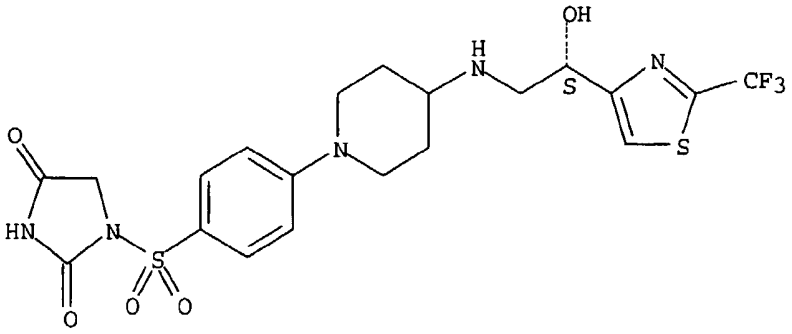
hydroxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

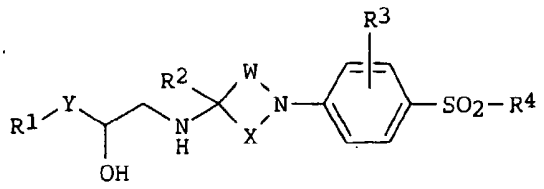


RN 391934-55-7 CAPLUS
CN 2,4-Imidazolidinedione, 1-[[4-[4-[[(2S)-2-hydroxy-2-[2-(trifluoromethyl)-4-thiazolyl]ethyl]amino]-1-piperidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

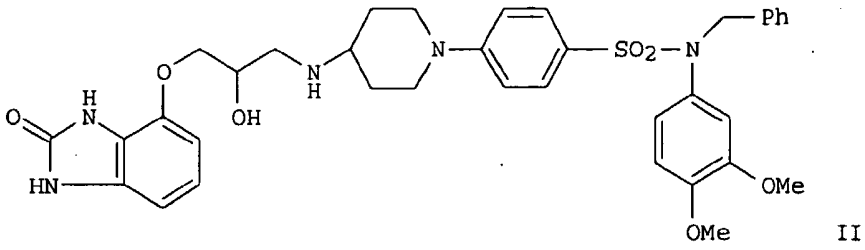
Absolute stereochemistry.



GI



I



II

AB Title compds. I [wherein W = (CH2)m; X = (CH2)n; m = 1-3; n = 1-3; Y = OCH2, SCH2, or a bond; R1 = Ph, heterocyclyl, or heteroaryl substituted with R5 or R6; R2 = H, CF3, alkyl, alkenyl, or alkynyl; R4 = (cyclo)alkyl, alkenyl, alkynyl, OH, alkoxy, (hetero)aryloxy, (hetero)arylamino, alkoxycarbonylalkyl, carboxyalkyl, aminosulfonylalkyl, alkylsulfonylalkyl, or (un)substituted Ph, heterocyclyl, heteroaryl, acylamino, amino, etc.; R3, R5, and R6 = independently H, CF3, (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, heteroaryl, arylalkyl, halo, CN, NO2, OH, alkoxy, (hetero)aryloxy, alkylthio, arylthio, (hetero)arylamino, alkylcarbonylalkyl, aminosulfonylalkyl, arylsulfonylalkyl, etc.; or a pharmaceutically acceptable salt thereof] were prepd. as .beta.3 adrenergic receptor agonists. For example, sodium triacetoxyborohydride was added to a soln. of 4-[(2S)-3-amino-2-hydroxypropoxy]-1,3-dihydrobenzimidazol-2-one, N-benzyl-N-(3,4-dimethoxyphenyl)-4-(4-oxopiperidin-1-yl)sulfonamide, and AcOH in anhyd. DMF. The reaction was stirred overnight and then quenched with 50% H2O/satd. NaHCO3 to give II. The latter exhibited selective binding to the .beta.3 adrenergic receptor (EC50 0.017 .mu.M) compared to the .beta.1 and .beta.2 adrenergic receptors. Thus, I are useful in treating metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with **obesity** or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, and frequent urination, and are particularly useful in the treatment of type II diabetes.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

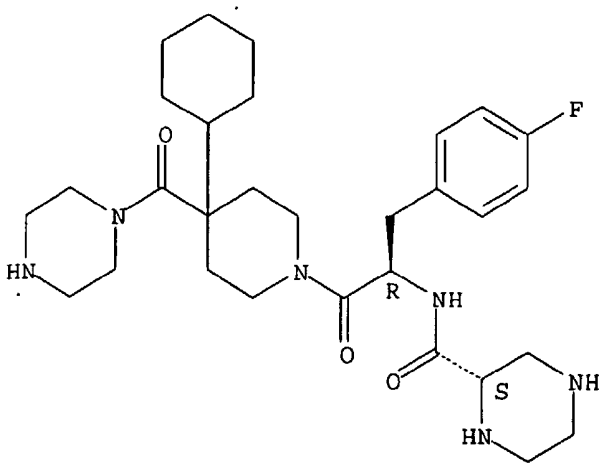
L5 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS
AN 2001:713326 CAPLUS
DN 135:272990
TI Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists
IN Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ye, Zhixiong
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001070708	A1	20010927	WO 2001-US8935	20010320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 2000-191442PP	20000323
			US 2000-242265PP	20001020
US 2002019523	A1	20020214	US 2001-812965	20010320
US 6458790	B2	20021001		
			US 2000-191442PP	20000323
			US 2000-242265PP	20001020
EP 1268449	A1	20030102	EP 2001-922501	20010320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2000-191442PP	20000323
			US 2000-242265PP	20001020
			WO 2001-US8935 W	20010320

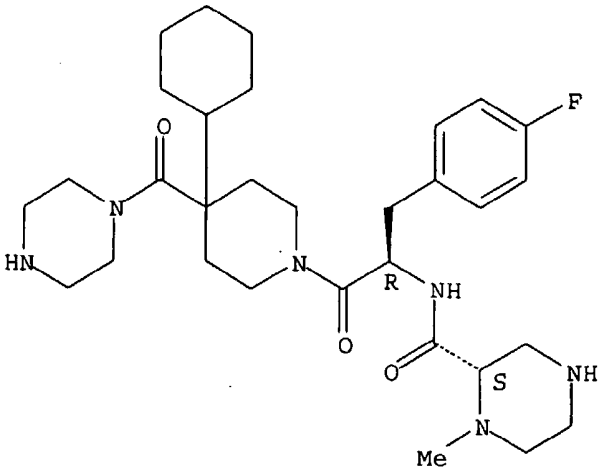
OS MARPAT 135:272990
IT **363187-41-1P 363187-63-7P 363187-91-1P**
363187-92-2P 363187-98-8P 363189-54-2P
363190-03-8P 363190-71-0P 363190-72-1P
363190-78-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists)
RN 363187-41-1 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



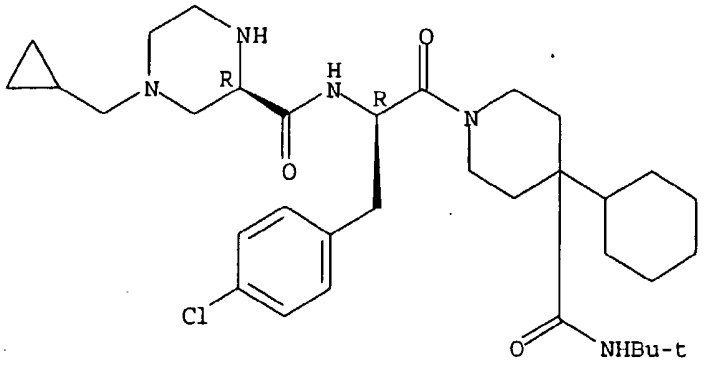
RN 363187-63-7 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



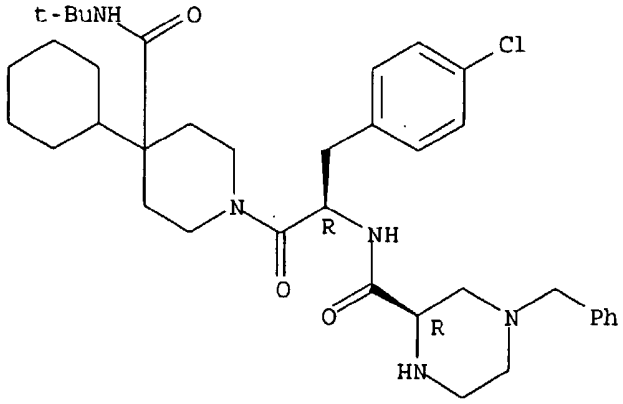
RN 363187-91-1 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



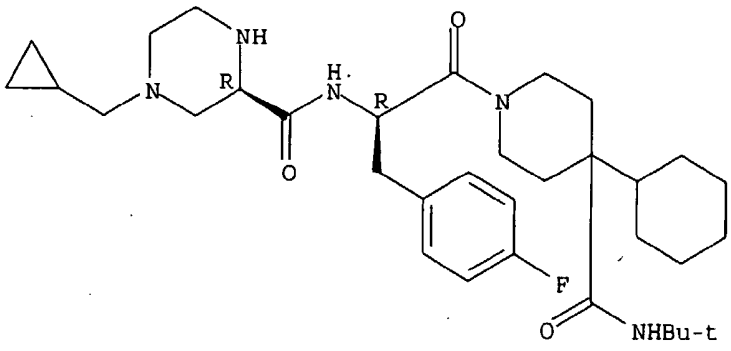
RN 363187-92-2 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



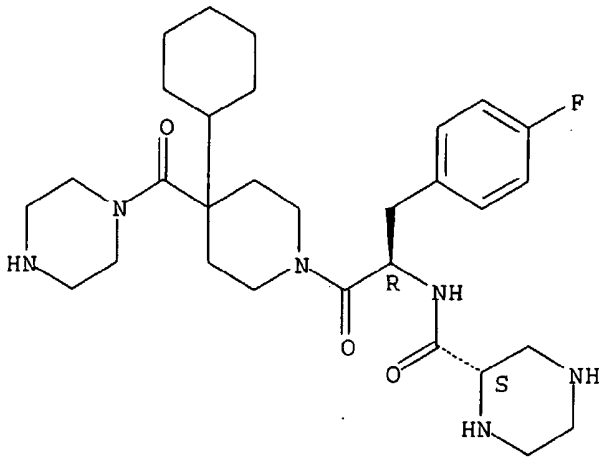
RN 363187-98-8 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363189-54-2 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

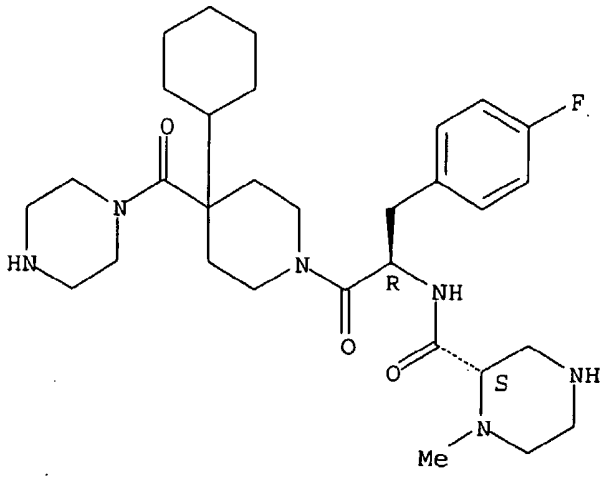
Absolute stereochemistry.



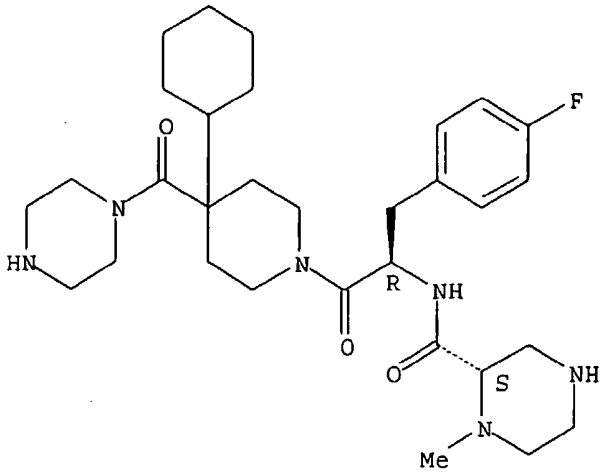
● 2 HCl

RN 363190-03-8 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-(1-piperazinylcarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-1-methyl-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



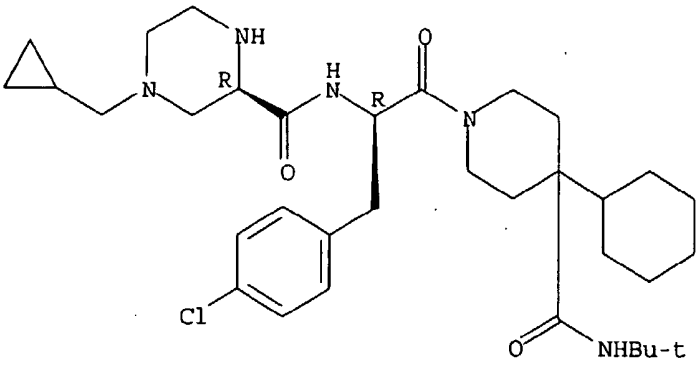
2 HCl



●2 HCl

RN 363190-71-0 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

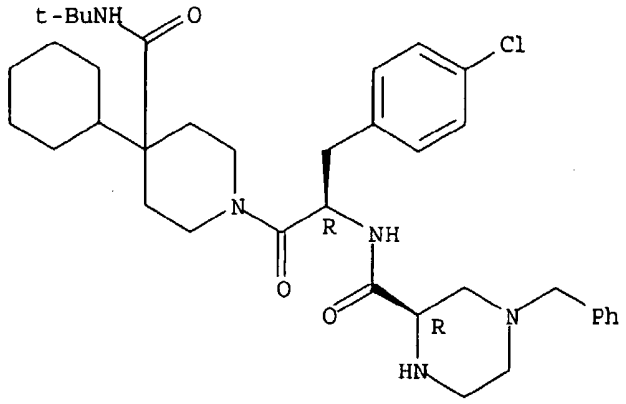
Absolute stereochemistry.



●2 HCl

RN 363190-72-1 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-2-oxoethyl]-4-(phenylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

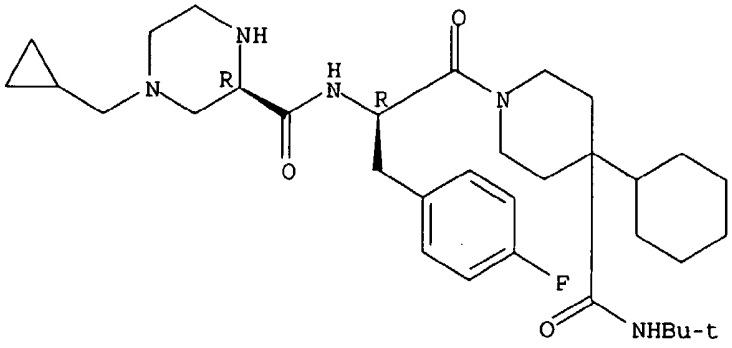
Absolute stereochemistry.



●2 HCl

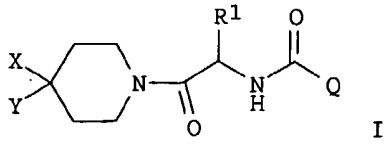
RN 363190-78-7 CAPLUS
CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-4-(cyclopropylmethyl)-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

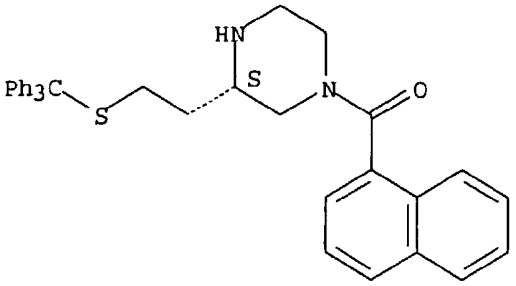
GI



I

Patel <6/23/2003>

Absolute stereochemistry.



AB Prenyltransferase inhibitors are used for prepg. a medicine for treating pathologies resulting from prenylation of the .gamma. subunit of G protein. Said diseases comprise in particular diseases related to the following biol. functions or disorders: smell, taste, light perception, neurotransmission, neurodegeneration, endocrine and exocrine gland functioning, autocrine and paracrine regulation, blood pressure, embryogenesis, viral infection, immunol. functions, diabetes, and **obesity**.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS
AN 1999:595178 CAPLUS
DN 131:243258
TI Preparation of thieno[2,3-c]pyrans and thieno[2,3-c]pyridines as modulators of protein tyrosine phosphatases (PTPases)
IN Moller, Niels Peter Hundahl; Andersen, Henrik Sune; Iversen, Lars Fogh; Olsen, Ole Hvilsted; Branner, Sven; Holsworth, Daniel Dale; Bakir, Farid; Judge, Luke Milburn; Axe, Frank Urban; Jones, Todd Kevin; Ripka, Wiliam Charles; Ge, Yu; Uyeda, Roy Teruyuki
PA Novo Nordisk A/S, Den.; Ontogen Corporation
SO PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9946267	A1	19990916	WO 1999-DK121	19990311

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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PATENT FAMILY INFORMATION:

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FAN 1999:595127

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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EP 1080068	A1	20010307	EP 1999-907336	19990312
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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DK 1998-346 A 19980312

OS MARPAT 131:243258

IT **243968-00-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of thieno[2,3-c]pyrans and thieno[2,3-c]pyridines as modulators of protein tyrosine phosphatases (PTPases))

RN 243968-00-5 CAPLUS

CN 1H-Indole-5-carboxylic acid, 6-[(ethoxyoxoacetyl)amino]-3-(1-piperazinylmethyl)-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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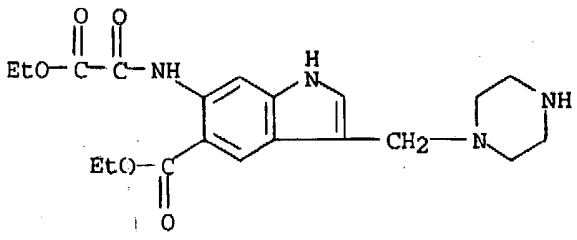

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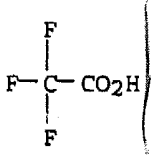

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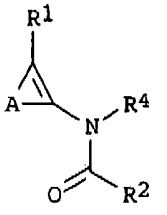
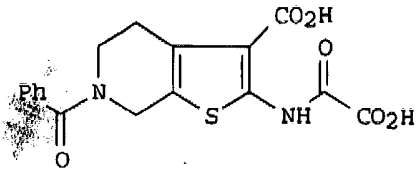
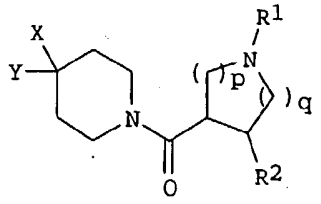


I



II

AB Thieno[2,3-c]pyrans and thieno[2,3-c]pyridines (I) [A = atoms to complete various 5/5 and 5/6 bicyclic heterocycles, e.g., thienopridines,



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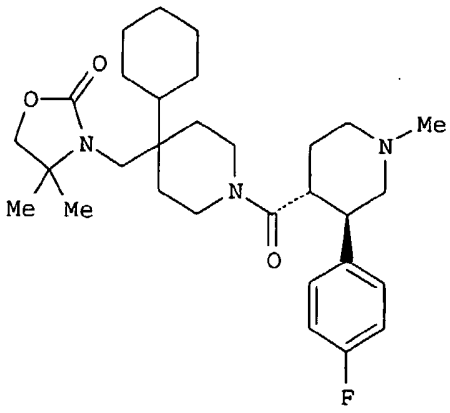


II

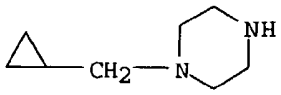
AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH2)n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH2)n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH2, CO2H, OH, NH2, and various derivs.]; Y = (un)substituted alkyl, alkenyl, (CH2)n-G3 [G3 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 200 invention compds. I and approx. 80 intermediates were prepd. For instance, amidation of (.+-.)-trans-1-(tert-butoxycarbonyl)-3-(4-fluorophenyl)piperidine-4-carboxylic acid with 4-cyclohexyl-4-[(4,4-dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl, followed by N-deprotection with removal of BOC using HCl, and reductive N-methylation using paraformaldehyde and NaBH3CN, gave title compd. (.+-.)-trans-II, isolated as the trifluoroacetate salt. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 .mu.M, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 .mu.M.

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 2001:833094 CAPLUS
DN 135:371639
TI Preparation of alkylamine derivatives of dihydropyridine as NPY antagonists

IN Poindexter, Graham S.; Bruce, Marc; Sit, Sing-Yuen; Martin, Scott W.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001085173	A1	20011115	WO 2001-US15018	20010510
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-202901PP	20000510
	US 2002019384	A1	20020214	US 2001-852983	20010510
	US 6479482	B2	20021112		
				US 2000-202901PP	20000510

OS MARPAT 135:371639
IT **373608-42-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of alkylamine derivs. of dihydropyridine as NPY antagonists)
RN 373608-42-5 CAPLUS
CN Piperazine, 1-(cyclopropylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

GI

10801685 eff 3/17/04

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LOGINID:ssspta1611sxp

PASSWORD:
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NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
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```
                right truncation
 NEWS 42  Jun 06  Simultaneous left and right truncation added to CBNB
 NEWS 43  Jun 06  PASCAL enhanced with additional data
 NEWS 44  Jun 20  2003 edition of the FSTA Thesaurus is now available

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               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 11:53:58 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:54:05 ON 23 JUN 2003
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DICTIONARY FILE UPDATES:  22 JUN 2003  HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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PROPERTIES for more information.  See STNote 27, Searching Properties
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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading pctus0308820.20

L1      STRUCTURE UPLOADED

Patel                                          <6/23/2003>
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=> d l1
L1 HAS NO ANSWERS
L1                STR
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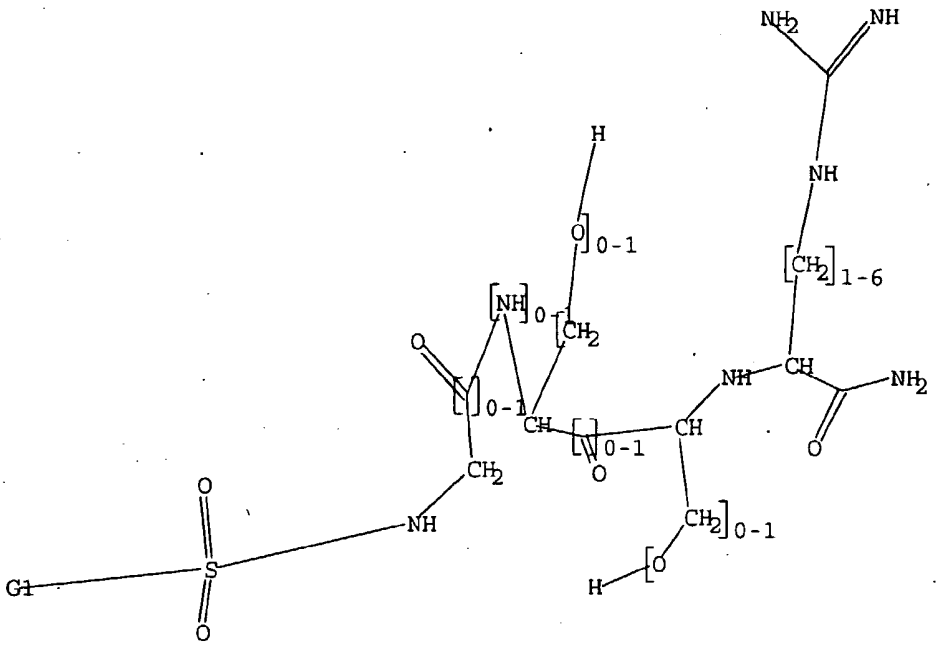

G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 11:54:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    575 TO ITERATE

100.0% PROCESSED     575 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        10062 TO    12938
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:54:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  10950 TO ITERATE

100.0% PROCESSED   10950 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.02

L3            0 SEA SSS FUL L1

=> log y
```

Patel <6/23/2003>

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.55	148.76

STN INTERNATIONAL LOGOFF AT 11:55:11 ON 23 JUN 2003

10801685 EHD 3/17/04

~~pctus0308820.18~~ Page 1

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Patel <6/23/2003>

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 NEWS 41  May 19  RAPRA enhanced with new search field, simultaneous left and
                  right truncation
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FILE 'HOME' ENTERED AT 11:57:46 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:57:56 ON 23 JUN 2003
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DICTIONARY FILE UPDATES:  22 JUN 2003  HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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=>
Uploading pctus0308820.21
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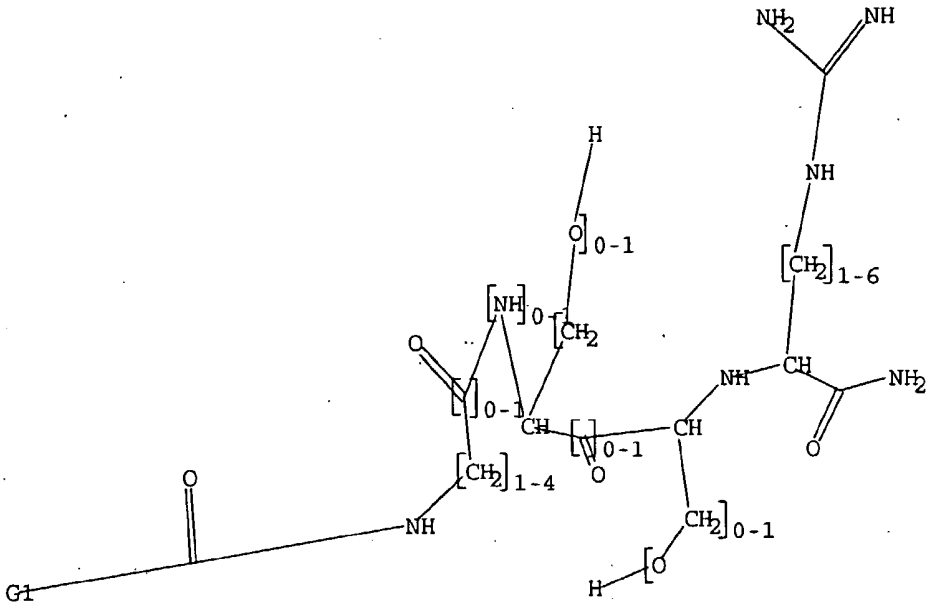
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:58:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13350 TO ITERATE

7.5% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 260086 TO 273914
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:58:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 264388 TO ITERATE

100.0% PROCESSED 264388 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.23

L3 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.55	148.76

STN INTERNATIONAL LOGOFF AT 11:59:05 ON 23 JUN 2003

10801685 8/2/3 17:54

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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FILE 'HOME' ENTERED AT 12:01:31 ON 23 JUN 2003

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

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DICTIONARY FILE UPDATES:  22 JUN 2003  HIGHEST RN 535920-83-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading pctus0308820.22
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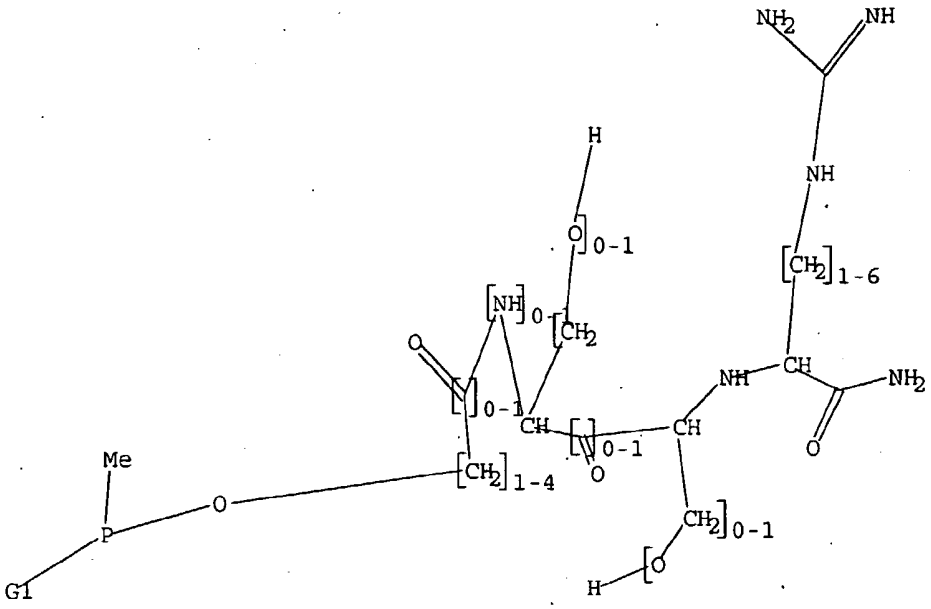
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:02:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44 TO 476
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:02:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 318 TO ITERATE

100.0% PROCESSED 318 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

```
=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.15     148.36

STN INTERNATIONAL LOGOFF AT 12:02:14 ON 23 JUN 2003
```